A Synopsis

On

STUDIES ON THE CHEMISTRY OF 1, 3-DIARYLPROPENONES OF BIOLOGICAL INTEREST

For

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1. INTRODUCTION

1, 3-Diarylpropenones commonly known as Chalcones and constitute an important class of naturally occurring flavonoids in which two aromatic rings A and B are joined by a three carbon α, β-unsaturated carbonyl system. It is well known that a number of natural and synthetic 1, 3-diarylpropenones are highly biologically active with great pharmaceutical and medicinal applications. Different 1, 3-diarylpropenones like derricin, derricidin, lanchocarpen etc. are not only useful in the synthesis of several biodynamic cyclic and heterocyclic systems but also they have been received a wide attention due to their significant vast pharmacological activities. 1, 3-Diarylpropenones and their heterocyclic analogues have industrial application such as sweetener, photosensitive materials, stabilizers, scintillates analytical reagents. Therefore the chemistry of 1, 3-Diarylpropenones is considered to be one of the most dynamic and challenging area of chemistry embracing a vast spectrum in advances of both theoretical and practical relevance. In recent years, it has become a part of main stream of organic chemistry as the reaction products have been used as building blocks for the synthesis of highly functionalized heterocycles like flavonoids, isoxazolines, pyrazolines, pyrimidines, azepines, benzodiazepines, benzothiazepines etc. These heterocycles constitute major share of the synthetic drugs and search for a new heterocyclic drug is an intriguing subject always. In view of recently received considerable attention of diarylpropenones for the construction of a variety of novel heterocyclic systems under conventional / non-conventional methods. On the synthesis and reaction in prompted us to work in this field for development of new bioactive heterocycles.

Finally, the envisaged work has been undertaken for improving the existing procedures for various reactions so that the procedures would not only be environmentally desirable but also be economically viable and exploring newer vistas leading to innovation based on

non-conventional of organic synthesis. Therefore the topic of research is of paramount importance. The following objectives have been taken for the present study:

- To synthesize different substituted 1, 3-diarylpropenones.
- To carry out synthetic reactions with suitable reagents for their conversion to different sized bioactive heterocyclic systems like pyrimidines, benzodiazepines, pyridines etc.
- To systematically identify and characterized the synthesized compounds.
- Biological evolution of all synthesized compounds.

2. REVIEW OF LITERATURE

The chemistry of 1, 3-diarylpropenones and their heterocyclic analogues has been an interesting field of study for long time because they are useful intermediates in organic system[1]. A number of heterocyclic analogues of 1, 3-diarylpropenones have been reported, included the 1,3-diarylpropenones analoguess of coumarine[2], pyridines[3,4], phloretin[5], chromenes[6,7], benzothiazepines[8], pyrimidines[9-11], Pyrazole[12], benzofuran[13], benzodiazepine[14], flavones[15], furan[16-19] and thiophene[20-22]. These compounds are known to display a large number of different biological activities[23]. The heterocyclic analogues of 1, 3-diarylpropenones are reported[24-28] to possess antibacterial, antifungal, antibiotic, antitubercular activities. 1, 3-Diarylpropenones and their heterocyclic analogues are reported to be versatile popular synthons for the generation of the large number of bioactive heterocycles[29-36].

In the last few years these has been a growing interest in the non-conventional methods [37-40] in the organic synthesis. The use of such non-conventional reaction condition reveals several advantages like short reaction time[41-44], increased yields, selectivity in reactions and eco-friendly compare to conventional methods and ease to reaction work up. The

developed procedures are both environmentally and economically acceptable. The present research investigation is aimed to synthesis different substituted 1, 3-diarylpropenones and to transform these synthons to different five, six or seven membered heterocyclic systems under both microwave assisted inorganic supported heterogeneous, eco-friendly and conventional procedures to ascertain the usefulness of non-traditional methods our conventional one. The synthesized compounds will be evaluated for their pharmaceutical profile.

3. METHODOLOGY

A number of synthetic routes are known for the synthesis of 1, 3-diarylpropenones however the most convenient method is the Claisen-Schmidt condensation of equimolar quantities of a substituted acetophenones with aldehydes in the presence of aqueous, alcoholic alkali and solid inorganic supports. Synthesis of 1,3-diarylpropenones under solvent-free conditions resulted in a "green chemistry" under non-conventional procedures using different mineral supports and catalysts, under heterogeneous medium in organic synthesis lead to a clean, efficient and economical technology. Recently there is an increasing interest in the use of environmentally benign reagents and procedures for the synthesis of various functionalized organic systems.

4. SIGNIFICANCE OF RESEARCH WORK

1, 3-diarylpropenones have shown a wide range of biological activities such as anti-AIDS, anti-inflammatory, anticancer, antitubercular, antibacterial, anti-oxidant and antimalerial. This system having an α, β-unsaturated carbonyl system are Michael acceptors and are prone to undergo reaction with a variety of bidentate nucleophiles to give five, six and

seven membered heterocyclic systems which constitute the major share of synthetic drugs and search for a new heterocyclic drugs is always an intriguing objects.

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